Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

| | | | |
|---|---|---|---|
| NEWS | 1 | | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS | 2 | | "Ask CAS" for self-help around the clock |
| NEWS | 3 | JUL 20 | Powerful new interactive analysis and visualization software, STN AnaVist, now available |
| NEWS | 4 | AUG 11 | STN AnaVist workshops to be held in North America |
| NEWS | 5 | AUG 30 | CA/CAplus -Increased access to 19th century research documents |
| NEWS | 6 | AUG 30 | CASREACT - Enhanced with displayable reaction conditions |
| NEWS | 7 | SEP 09 | ACD predicted properties enhanced in REGISTRY/ZREGISTRY |
| NEWS | 8 | OCT 03 | MATHDI removed from STN |
| NEWS | 9 | OCT 04 | CA/CAplus-Canadian Intellectual Property Office (CIPO) added to core patent offices |
| NEWS | 10 | OCT 06 | STN AnaVist workshops to be held in North America |

NEWS EXPRESS JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

| | |
|---|---|
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
| NEWS INTER | General Internet Information |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS PHONE | Direct Dial and Telecommunication Network Access to STN |
| NEWS WWW | CAS World Wide Web Site (general information) |

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 09:32:24 ON 12 OCT 2005

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND

command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 09:32:35 ON 12 OCT 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 OCT 2005 HIGHEST RN 865062-68-6
DICTIONARY FILE UPDATES: 11 OCT 2005 HIGHEST RN 865062-68-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

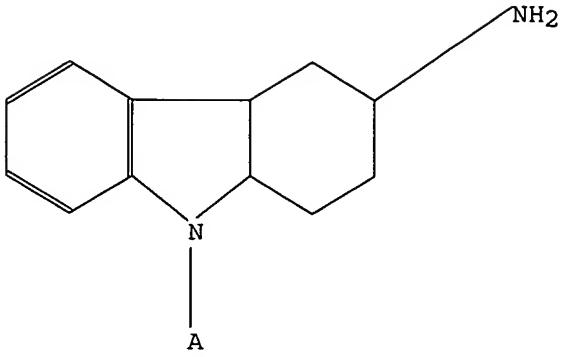
```
**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from  *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
**********************************************************************
```

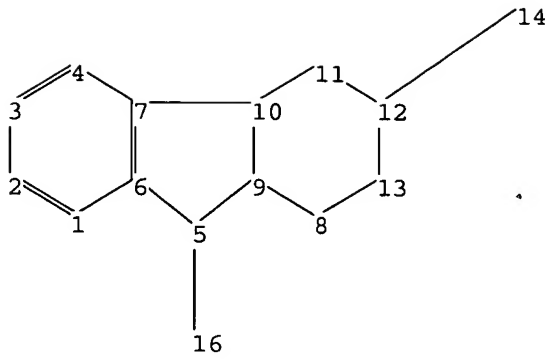
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10777252.str



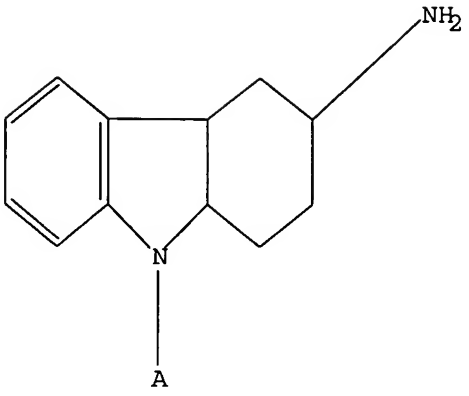




chain nodes :
14 16
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13
chain bonds :
5-16 12-14
ring bonds :
1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-10 8-9 8-13 9-10 10-11 11-12 12-13
exact/norm bonds :
5-6 5-9 5-16 12-14
exact bonds :
7-10 8-9 8-13 9-10 10-11 11-12 12-13
normalized bonds :
1-2 1-6 2-3 3-4 4-7 6-7
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 16:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR




Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 09:32:48 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       63 TO ITERATE

100.0% PROCESSED       63 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          784 TO     1736
PROJECTED ANSWERS:               0 TO        0

L2             0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 09:32:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     1092 TO ITERATE

100.0% PROCESSED     1092 ITERATIONS                              2 ANSWERS
SEARCH TIME: 00.00.01

L3             2 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             161.33     161.54

FILE 'HCAPLUS' ENTERED AT 09:33:01 ON 12 OCT 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)
```

FILE COVERS 1907 - 12 Oct 2005 VOL 143 ISS 16
FILE LAST UPDATED: 11 Oct 2005 (20051011/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

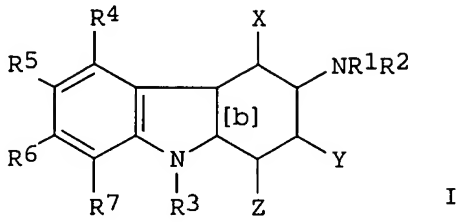
=> s l3
L4 1 L3

=> d l4 ibib abs hitstr tot

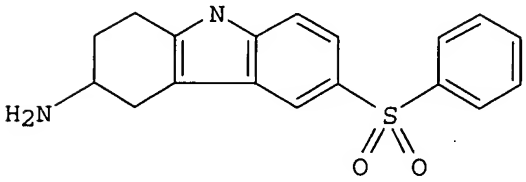
L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:300887 HCAPLUS
DOCUMENT NUMBER: 138:321126
TITLE: Preparation of arylsulphonyl-substituted tetrahydro- and hexahydrocarbazolamines as 5-HT6 receptor ligands
INVENTOR(S): Fu, Jian-Min
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| WO 2003030901 | A1 | 20030417 | WO 2002-US32353 | | 20021008 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | | |
| CA 2461369 | AA | 20030417 | CA 2002-2461369 | | 20021008 |
| US 2003100596 | A1 | 20030529 | US 2002-268627 | | 20021008 |
| US 6727274 | B2 | 20040427 | | | |
| EP 1434578 | A1 | 20040707 | EP 2002-776201 | | 20021008 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | | |
| BR 2002013208 | A | 20040831 | BR 2002-13208 | | 20021008 |
| JP 2005508349 | T2 | 20050331 | JP 2003-533933 | | 20021008 |
| US 2004162332 | A1 | 20040819 | US 2004-777252 | | 20040212 |
| PRIORITY APPLN. INFO.: | | | US 2001-327875P | P | 20011009 |
| | | | US 2001-327876P | P | 20011009 |
| | | | US 2002-268627 | A3 | 20021008 |
| | | | WO 2002-US32353 | W | 20021008 |

OTHER SOURCE(S): MARPAT 138:321126
GI




I




II

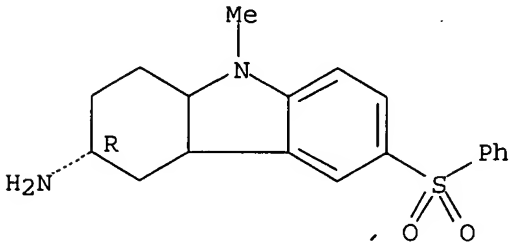
AB The invention provides arylsulfonyl-substituted tetrahydro- and hexahydrocarbazoles (shown as I; variables defined below; e.g. 6-(phenylsulfonyl)-2,3,4,9-tetrahydro-1H-carbazol-3-amine hydrochloride (base shown as II)) for use in treating conditions in which 5-HT6 receptors are involved such as in anxiety, depression, schizophrenia, Alzheimer's disease, stress-related disease, panic, a phobia, obsessive compulsive disorder, obesity, post-traumatic stress syndrome, epilepsy, and other CNS disorders. Binding consts. (Ki) for the examples to 5-HT6 receptors are .apprx.2.9-58 nM. The 3R isomers of the tetrahydrocarbazoles exhibit higher selectivity towards the 5-HT6 serotonin receptor relative to the 3S isomer. Isotopically labeled I are claimed to be useful for performing positron emission tomog. Although the methods of preparation are not claimed, 5 example prepns. of I and intermediates are included. For I: the bond labeled [b] is a single or double bond; each X, Y, and Z = H, -OH, -O-alkyl, and -O-substituted alkyl; R1 = H, (un)substituted alkyl, (un)substituted cycloalkyl, and aryl; R2 = H, (un)substituted alkyl, (un)substituted cycloalkyl, and aryl; R3 = H, (un)substituted alkyl, (un)substituted cycloalkyl, and -A-E-R8; A = (un)substituted alkyl. E = -N(R10)C(O)-, -C(O)N(R10)-, -N(R10)C(S)-, -C(S)N(R10)-, -S(O)N(R10)-, -N(R10)S(O)-, -S(O)2N(R10)-, and -N(R10)S(O)2-. Each R4, R5, R6, and R7 = H, halogen, aryl, -CN, -NO2, (un)substituted alkyl, (un)substituted cycloalkyl, -OR9, -NH2, -C(O)NH2, -C(S)NH2, and -S(O)naryl, provided that one of R4, R5, R6, and R7 is -S(O)naryl, and that at least one of R4, R5, R6, and R7 is H; n = 0-2. Each R8, R9, and R10 = H, (un)substituted alkyl, (un)substituted cycloalkyl, and aryl; each R11 = H, (un)substituted alkyl, (un)substituted cycloalkyl, heterocycloalkyl, Ph, naphthyl, and heteroarom., provided that any of the alkyl, cycloalkyl, Ph, naphthyl, or heteroarom. is optionally substituted with up to 3 substituents = halogen, alkyl, -CF3, -OR12, -SR12, -CN, -NO2, -N3, -N(R12)2, -C(O)N(R12)2, and -C(S)-N(R12)2; each R12 = H, alkyl, and cycloalkyl, provided that any of the alkyl or cycloalkyl is optionally substituted with up to 2 substituents = halogen, -CF3, -NO2, -NH2, -N3, -CN, -OH, -O-lower alkyl, and -O-lower substituted alkyl.

IT **512204-84-1P**, (3R)-9-Methyl-6-(phenylsulfonyl)-2,3,4,4a,9,9a-

hexahydro-1H-carbazol-3-amine **512204-88-5P**, (3S)-9-Methyl-6-(phenylsulfonyl)-2,3,4,4a,9,9a-hexahydro-1H-carbazol-3-amine
RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(candidate drug and positron-emission tomog. uses; preparation of arylsulfonyl-substituted tetrahydro- and hexahydrocarbazolamines as 5-HT6 receptor ligands)

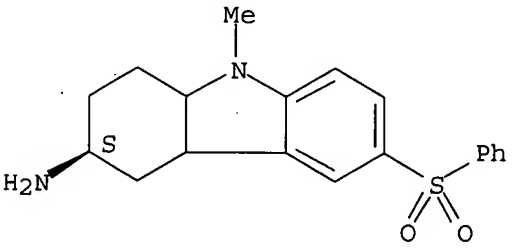
RN 512204-84-1 HCAPLUS
CN 1H-Carbazol-3-amine, 2,3,4,4a,9,9a-hexahydro-9-methyl-6-(phenylsulfonyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 512204-88-5 HCAPLUS
CN 1H-Carbazol-3-amine, 2,3,4,4a,9,9a-hexahydro-9-methyl-6-(phenylsulfonyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL REGISTRY

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 7.39 | 168.93 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -0.73 | -0.73 |

FILE 'REGISTRY' ENTERED AT 09:33:48 ON 12 OCT 2005

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 OCT 2005 HIGHEST RN 865062-68-6
DICTIONARY FILE UPDATES: 11 OCT 2005 HIGHEST RN 865062-68-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

**********
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
**********

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> FIL STNGUIDE

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.43 | 169.36 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | 0.00 | -0.73 |

FILE 'STNGUIDE' ENTERED AT 09:34:23 ON 12 OCT 2005
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COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Oct 7, 2005 (20051007/UP).

=> FIL REGISTRY

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.06 | 169.42 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | 0.00 | -0.73 |

FILE 'REGISTRY' ENTERED AT 09:34:34 ON 12 OCT 2005


Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 OCT 2005 HIGHEST RN 865062-68-6
DICTIONARY FILE UPDATES: 11 OCT 2005 HIGHEST RN 865062-68-6

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**********
*
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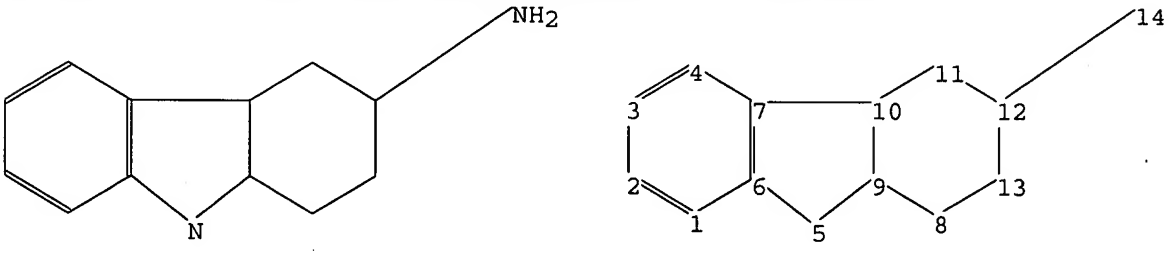
*
**********

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10777252a.str



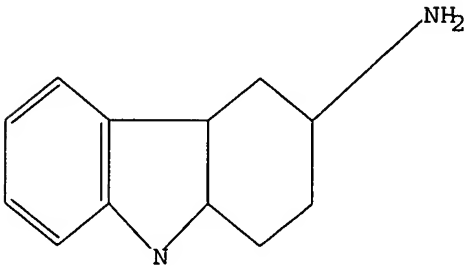

chain nodes :
14
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13
chain bonds :
12-14
ring bonds :
1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-10 8-9 8-13 9-10 10-11 11-12 12-13
exact/norm bonds :
5-6 5-9 12-14

exact bonds :
7-10 8-9 8-13 9-10 10-11 11-12 12-13
normalized bonds :
1-2 1-6 2-3 3-4 4-7 6-7
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS

L5 STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5 STR




Structure attributes must be viewed using STN Express query preparation.

=> s l5
SAMPLE SEARCH INITIATED 09:34:51 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 63 TO ITERATE

100.0% PROCESSED 63 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 784 TO 1736
PROJECTED ANSWERS: 2 TO 124

L6 2 SEA SSS SAM L5

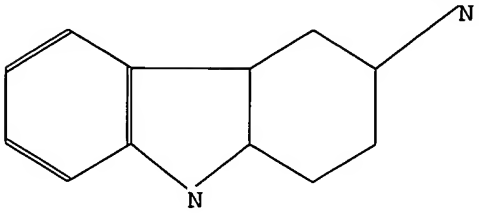
=> s l5 sss full
FULL SEARCH INITIATED 09:34:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1092 TO ITERATE

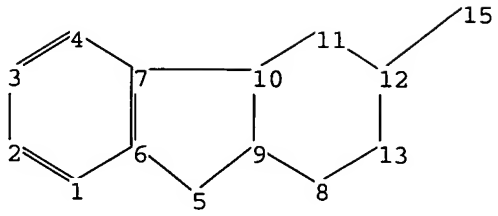
100.0% PROCESSED 1092 ITERATIONS 9 ANSWERS
SEARCH TIME: 00.00.01

L7 9 SEA SSS FUL L5

=>

Uploading C:\Program Files\Stnexp\Queries\10777252b.str



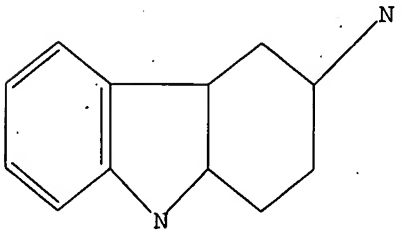




chain nodes :
15
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13
chain bonds :
12-15
ring bonds :
1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-10 8-9 8-13 9-10 10-11 11-12 12-13
exact/norm bonds :
5-6 5-9 12-15
exact bonds :
7-10 8-9 8-13 9-10 10-11 11-12 12-13
normalized bonds :
1-2 1-6 2-3 3-4 4-7 6-7
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 15:CLASS

L8 STRUCTURE UPLOADED

=> d l8
L8 HAS NO ANSWERS
L8 STR




Structure attributes must be viewed using STN Express query preparation.

=> s l8
SAMPLE SEARCH INITIATED 09:36:06 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 63 TO ITERATE

```
100.0% PROCESSED       63 ITERATIONS                                4 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          784 TO     1736
PROJECTED ANSWERS:               4 TO      200

L9            4 SEA SSS SAM L8

=> s l8 sss full
FULL SEARCH INITIATED 09:36:13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     1092 TO ITERATE

100.0% PROCESSED     1092 ITERATIONS                             49 ANSWERS
SEARCH TIME: 00.00.01

L10          49 SEA SSS FUL L8

=> d his

     (FILE 'HOME' ENTERED AT 09:32:24 ON 12 OCT 2005)

     FILE 'REGISTRY' ENTERED AT 09:32:35 ON 12 OCT 2005
L1              STRUCTURE UPLOADED
L2            0 S L1
L3            2 S L1 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 09:33:01 ON 12 OCT 2005
L4            1 S L3

     FILE 'REGISTRY' ENTERED AT 09:33:48 ON 12 OCT 2005

     FILE 'STNGUIDE' ENTERED AT 09:34:23 ON 12 OCT 2005

     FILE 'REGISTRY' ENTERED AT 09:34:34 ON 12 OCT 2005
L5              STRUCTURE UPLOADED
L6            2 S L5
L7            9 S L5 SSS FULL
L8              STRUCTURE UPLOADED
L9            4 S L8
L10          49 S L8 SSS FULL

=> FIL HCAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             323.09     492.51

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                               0.00      -0.73

FILE 'HCAPLUS' ENTERED AT 09:36:33 ON 12 OCT 2005
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FILE COVERS 1907 - 12 Oct 2005 VOL 143 ISS 16
FILE LAST UPDATED: 11 Oct 2005 (20051011/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l7
L11 2 L7

=> s l10
L12 9 L10

=> d l11 ibib abs hitstr tot

L11 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:300887 HCAPLUS
DOCUMENT NUMBER: 138:321126
TITLE: Preparation of arylsulphonyl-substituted tetrahydro- and hexahydrocarbazolamines as 5-HT6 receptor ligands
INVENTOR(S): Fu, Jian-Min
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2003030901 | A1 | 20030417 | WO 2002-US32353 | 20021008 |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2461369 | AA | 20030417 | CA 2002-2461369 | 20021008 |
| US 2003100596 | A1 | 20030529 | US 2002-268627 | 20021008 |
| US 6727274 | B2 | 20040427 | | |
| EP 1434578 | A1 | 20040707 | EP 2002-776201 | 20021008 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| BR 2002013208 | A | 20040831 | BR 2002-13208 | 20021008 |

| | | | | | |
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| JP 2005508349 | T2 | 20050331 | JP 2003-533933 | | 20021008 |
| US 2004162332 | A1 | 20040819 | US 2004-777252 | | 20040212 |
| PRIORITY APPLN. INFO.: | | | US 2001-327875P | P | 20011009 |
| | | | US 2001-327876P | P | 20011009 |
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OTHER SOURCE(S): MARPAT 138:321126


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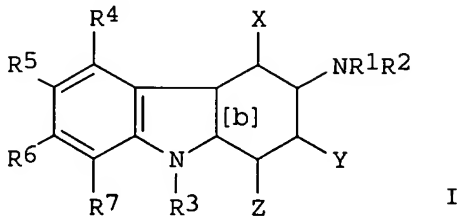


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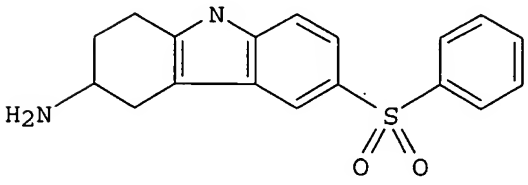



II

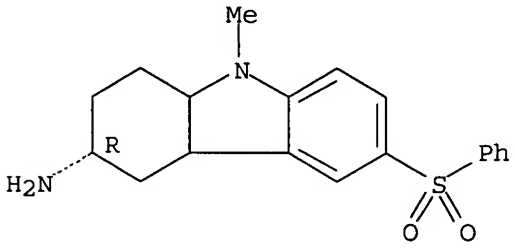

AB The invention provides arylsulfonyl-substituted tetrahydro- and hexahydrocarbazoles (shown as I; variables defined below; e.g. 6-(phenylsulfonyl)-2,3,4,9-tetrahydro-1H-carbazol-3-amine hydrochloride (base shown as II)) for use in treating conditions in which 5-HT6 receptors are involved such as in anxiety, depression, schizophrenia, Alzheimer's disease, stress-related disease, panic, a phobia, obsessive compulsive disorder, obesity, post-traumatic stress syndrome, epilepsy, and other CNS disorders. Binding consts. (Ki) for the examples to 5-HT6 receptors are .apprx.2.9-58 nM. The 3R isomers of the tetrahydrocarbazoles exhibit higher selectivity towards the 5-HT6 serotonin receptor relative to the 3S isomer. Isotopically labeled I are claimed to be useful for performing positron emission tomog. Although the methods of preparation are not claimed, 5 example prepns. of I and intermediates are included. For I: the bond labeled [b] is a single or double bond; each X, Y, and Z = H, -OH, -O-alkyl, and -O-substituted alkyl; R1 = H, (un)substituted alkyl, (un)substituted cycloalkyl, and aryl; R2 = H, (un)substituted alkyl, (un)substituted cycloalkyl, and aryl; R3 = H, (un)substituted alkyl, (un)substituted cycloalkyl, and -A-E-R8; A = (un)substituted alkyl. E = -N(R10)C(O)-, -C(O)N(R10)-, -N(R10)C(S)-, -C(S)N(R10)-, -S(O)N(R10)-, -N(R10)S(O)-, -S(O)2N(R10)-, and -N(R10)S(O)2-. Each R4, R5, R6, and R7 = H, halogen, aryl, -CN, -NO2, (un)substituted alkyl, (un)substituted cycloalkyl, -OR9, -NH2, -C(O)NH2, -C(S)NH2, and -S(O)naryl, provided that one of R4, R5, R6, and R7 is -S(O)naryl, and that at least one of R4, R5, R6, and R7 is H; n = 0-2. Each R8, R9, and R10 = H, (un)substituted alkyl, (un)substituted cycloalkyl, and aryl; each R11 = H, (un)substituted alkyl, (un)substituted cycloalkyl, heterocycloalkyl, Ph, naphthyl, and heteroarom., provided that any of the alkyl, cycloalkyl, Ph, naphthyl, or heteroarom. is optionally

substituted with up to 3 substituents = halogen, alkyl, -CF3, -OR12, -SR12, -CN, -NO2, -N3, -N(R12)2, -C(O)N(R12)2, and -C(S)-N(R12)2; each R12 = H, alkyl, and cycloalkyl, provided that any of the alkyl or cycloalkyl is optionally substituted with up to 2 substituents = halogen, -CF3, -NO2, -NH2, -N3, -CN, -OH, -O-lower alkyl, and -O-lower substituted alkyl.

IT **512204-84-1P**, (3R)-9-Methyl-6-(phenylsulfonyl)-2,3,4,4a,9,9a-hexahydro-1H-carbazol-3-amine **512204-88-5P**, (3S)-9-Methyl-6-(phenylsulfonyl)-2,3,4,4a,9,9a-hexahydro-1H-carbazol-3-amine **512204-89-6P**, (3R)-6-(Phenylsulfonyl)-2,3,4,4a,9,9a-hexahydro-1H-carbazol-3-amine **512204-90-9P**, (3S)-6-(Phenylsulfonyl)-2,3,4,4a,9,9a-hexahydro-1H-carbazol-3-amine **512204-95-4P**
RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(candidate drug and positron-emission tomog. uses; preparation of arylsulfonyl-substituted tetrahydro- and hexahydrocarbazolamines as 5-HT6 receptor ligands)

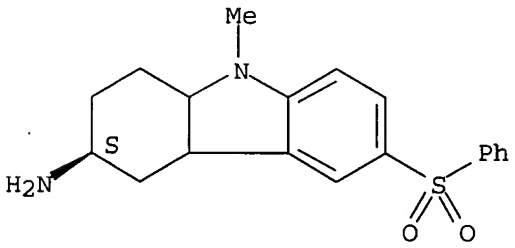
RN 512204-84-1 HCAPLUS
CN 1H-Carbazol-3-amine, 2,3,4,4a,9,9a-hexahydro-9-methyl-6-(phenylsulfonyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



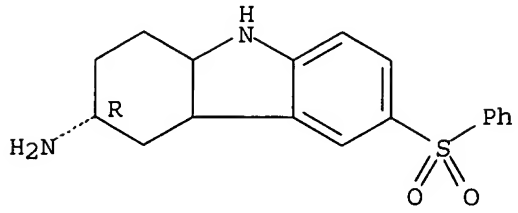

RN 512204-88-5 HCAPLUS
CN 1H-Carbazol-3-amine, 2,3,4,4a,9,9a-hexahydro-9-methyl-6-(phenylsulfonyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



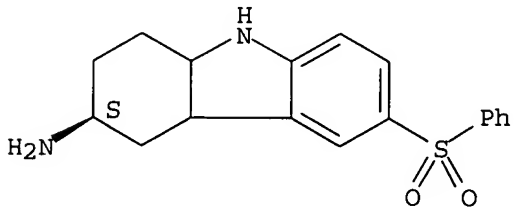

RN 512204-89-6 HCAPLUS
CN 1H-Carbazol-3-amine, 2,3,4,4a,9,9a-hexahydro-6-(phenylsulfonyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

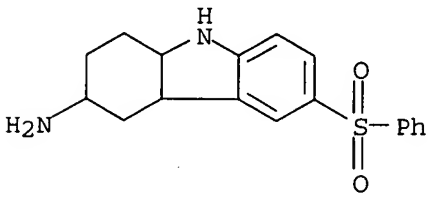


RN 512204-90-9 HCAPLUS
CN 1H-Carbazol-3-amine, 2,3,4,4a,9,9a-hexahydro-6-(phenylsulfonyl)-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 512204-95-4 HCAPLUS
CN 1H-Carbazol-3-amine, 2,3,4,4a,9,9a-hexahydro-6-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1981:47136 HCAPLUS
DOCUMENT NUMBER: 94:47136
TITLE: Tetrahydrocarbazoles and pharmaceutical compositions for treating heart failure in mammals
INVENTOR(S): Mooradian, Aram
PATENT ASSIGNEE(S): Sterling Drug Inc., USA
SOURCE: U.S., 7 pp. Cont.-in-part of U.S. Ser. No. 465,238, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

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| US 4224335 | A | 19800923 | US 1976-651882 | | 19760123 |
| US 3642816 | A | 19720215 | US 1967-659606 | | 19670810 |
| US 3959309 | A | 19760525 | US 1973-425205 | | 19731217 |
| PRIORITY APPLN. INFO.: | | | US 1967-659606 | A2 | 19670810 |
| | | | US 1969-793545 | A2 | 19690123 |
| | | | US 1971-172206 | A2 | 19710816 |
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| | | | US 1974-465238 | A2 | 19740429 |
| | | | CA 1968-10686 | A | 19680124 |

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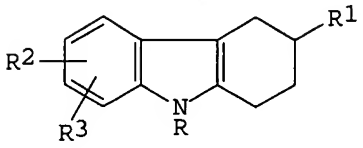


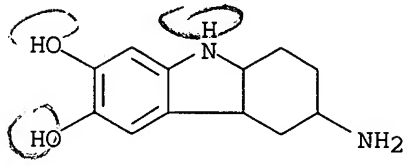

I

AB The carbazoles I (R = H, Me; R1 = NH2, EtNH, Me2N; R2 = 5-, 6-, 7-HO; R3 = H, 7-F, 7-HO) were prepared Thus, m-PhCH2OC6H4NMeNH2.HCl was cyclized with 4-(dimethylamino)cyclohexanone to give I (R = Me, R1 = Me2N, R2 = 7-PhCH2O, R3 = H), which was debenzylated to give I (R = Me, R1 = Me2N, R2 = 7-HO, R3 = H). I underwent cardiotonic tests and were found useful for treatment of congestive heart failure in mammals.

IT **76243-18-0P 76243-21-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for treatment of congestive heart failure)

RN 76243-18-0 HCAPLUS

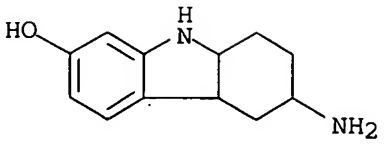
CN 1H-Carbazole-6,7-diol, 3-amino-2,3,4,4a,9,9a-hexahydro-, hydrochloride (9CI) (CA INDEX NAME)





RN 76243-21-5 HCAPLUS

CN 1H-Carbazol-7-ol, 3-amino-2,3,4,4a,9,9a-hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



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L12 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:300887 HCAPLUS
DOCUMENT NUMBER: 138:321126
TITLE: Preparation of arylsulphonyl-substituted tetrahydro- and hexahydrocarbazolamines as 5-HT6 receptor ligands
INVENTOR(S): Fu, Jian-Min
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
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| WO 2003030901 | A1 | 20030417 | WO 2002-US32353 | | 20021008 |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | | |
| CA 2461369 | AA | 20030417 | CA 2002-2461369 | | 20021008 |
| US 2003100596 | A1 | 20030529 | US 2002-268627 | | 20021008 |
| US 6727274 | B2 | 20040427 | | | |
| EP 1434578 | A1 | 20040707 | EP 2002-776201 | | 20021008 |
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| BR 2002013208 | A | 20040831 | BR 2002-13208 | | 20021008 |
| JP 2005508349 | T2 | 20050331 | JP 2003-533933 | | 20021008 |
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| | | | WO 2002-US32353 | W | 20021008 |

OTHER SOURCE(S): MARPAT 138:321126
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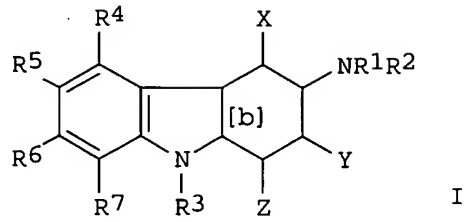


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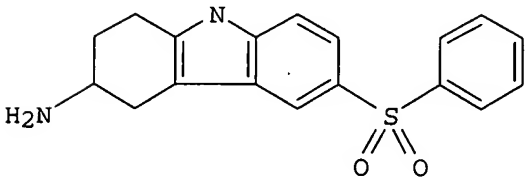



II

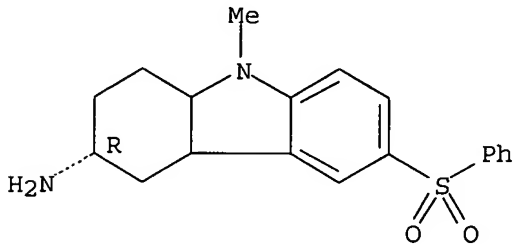
AB The invention provides arylsulfonyl-substituted tetrahydro- and hexahydrocarbazoles (shown as I; variables defined below; e.g. 6-(phenylsulfonyl)-2,3,4,9-tetrahydro-1H-carbazol-3-amine hydrochloride (base shown as II)) for use in treating conditions in which 5-HT6 receptors are involved such as in anxiety, depression, schizophrenia, Alzheimer's disease, stress-related disease, panic, a phobia, obsessive compulsive disorder, obesity, post-traumatic stress syndrome, epilepsy, and other CNS disorders. Binding consts. (Ki) for the examples to 5-HT6 receptors are .apprx.2.9-58 nM. The 3R isomers of the tetrahydrocarbazoles exhibit higher selectivity towards the 5-HT6 serotonin receptor relative to the 3S isomer. Isotopically labeled I are claimed to be useful for performing positron emission tomog. Although the methods of preparation are not claimed, 5 example prepns. of I and intermediates are included. For I: the bond labeled [b] is a single or double bond; each X, Y, and Z = H, -OH, -O-alkyl, and -O-substituted alkyl; R1 = H, (un)substituted alkyl, (un)substituted cycloalkyl, and aryl; R2 = H, (un)substituted alkyl, (un)substituted cycloalkyl, and aryl; R3 = H, (un)substituted alkyl, (un)substituted cycloalkyl, and -A-E-R8; A = (un)substituted alkyl. E = -N(R10)C(O)-, -C(O)N(R10)-, -N(R10)C(S)-, -C(S)N(R10)-, -S(O)N(R10)-, -N(R10)S(O)-, -S(O)2N(R10)-, and -N(R10)S(O)2-. Each R4, R5, R6, and R7 = H, halogen, aryl, -CN, -NO2, (un)substituted alkyl, (un)substituted cycloalkyl, -OR9, -NH2, -C(O)NH2, -C(S)NH2, and -S(O)naryl, provided that one of R4, R5, R6, and R7 is -S(O)naryl, and that at least one of R4, R5, R6, and R7 is H; n = 0-2. Each R8, R9, and R10 = H, (un)substituted alkyl, (un)substituted cycloalkyl, and aryl; each R11 = H, (un)substituted alkyl, (un)substituted cycloalkyl, heterocycloalkyl, Ph, naphthyl, and heteroarom., provided that any of the alkyl, cycloalkyl, Ph, naphthyl, or heteroarom. is optionally substituted with up to 3 substituents = halogen, alkyl, -CF3, -OR12, -SR12, -CN, -NO2, -N3, -N(R12)2, -C(O)N(R12)2, and -C(S)-N(R12)2; each R12 = H, alkyl, and cycloalkyl, provided that any of the alkyl or cycloalkyl is optionally substituted with up to 2 substituents = halogen, -CF3, -NO2, -NH2, -N3, -CN, -OH, -O-lower alkyl, and -O-lower substituted alkyl.

IT **512204-84-1P**, (3R)-9-Methyl-6-(phenylsulfonyl)-2,3,4,4a,9,9a-hexahydro-1H-carbazol-3-amine **512204-88-5P**, (3S)-9-Methyl-6-(phenylsulfonyl)-2,3,4,4a,9,9a-hexahydro-1H-carbazol-3-amine **512204-89-6P**, (3R)-6-(Phenylsulfonyl)-2,3,4,4a,9,9a-hexahydro-1H-

carbazol-3-amine **512204-90-9P**, (3S)-6-(Phenylsulfonyl)-2,3,4,4a,9,9a-hexahydro-1H-carbazol-3-amine **512204-92-1P**, (3S)-N,9-Dimethyl-6-(phenylsulfonyl)-2,3,4,4a,9,9a-hexahydro-1H-carbazol-3-amine **512204-93-2P**, (3R)-N,9-Dimethyl-6-(phenylsulfonyl)-2,3,4,4a,9,9a-hexahydro-1H-carbazol-3-amine **512204-95-4P**
RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(candidate drug and positron-emission tomog. uses; preparation of arylsulfonyl-substituted tetrahydro- and hexahydrocarbazolamines as 5-HT6 receptor ligands)

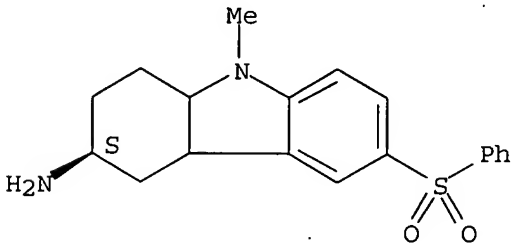
RN 512204-84-1 HCAPLUS
CN 1H-Carbazol-3-amine, 2,3,4,4a,9,9a-hexahydro-9-methyl-6-(phenylsulfonyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 512204-88-5 HCAPLUS
CN 1H-Carbazol-3-amine, 2,3,4,4a,9,9a-hexahydro-9-methyl-6-(phenylsulfonyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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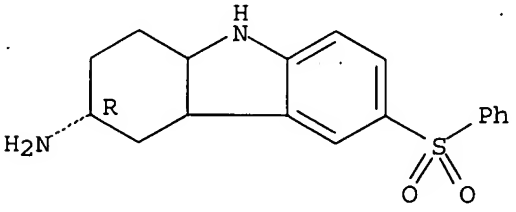
Absolute stereochemistry.



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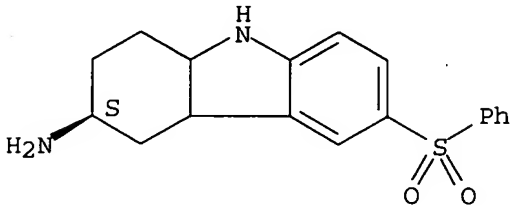
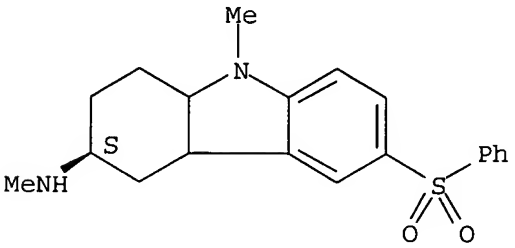
Absolute stereochemistry.



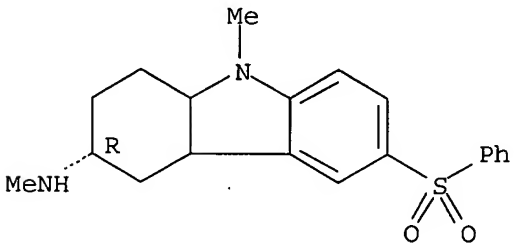
RN 512204-92-1 HCAPLUS
CN 1H-Carbazol-3-amine, 2,3,4,4a,9,9a-hexahydro-N,9-dimethyl-6-(phenylsulfonyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

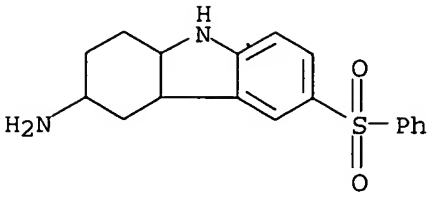


RN 512204-93-2 HCAPLUS
CN 1H-Carbazol-3-amine, 2,3,4,4a,9,9a-hexahydro-N,9-dimethyl-6-(phenylsulfonyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 512204-95-4 HCAPLUS
CN 1H-Carbazol-3-amine, 2,3,4,4a,9,9a-hexahydro-6-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

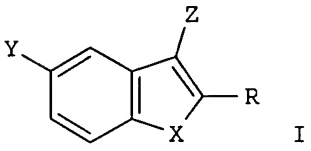


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:542759 HCAPLUS
DOCUMENT NUMBER: 129:175548
TITLE: Preparation of benzofurans and benzothienes as serotonin 5-HT1f agonists
INVENTOR(S): Fritz, James E.; Kaldor, Stephen W.; Liang, Sidney Xi; Singh, Upinder; Xu, Yao-chang
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: U.S., 30 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5792763 | A | 19980811 | US 1997-938739 | 19970926 |
| PRIORITY APPLN. INFO.: | | | US 1997-938739 | 19970926 |

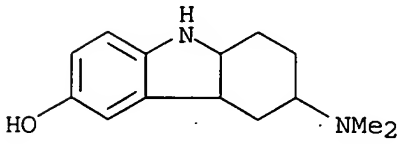
OTHER SOURCE(S): MARPAT 129:175548
GI



AB The title compds. [I; X = O, S; Y = R4C(O)NH, R5R6NC(Q)NH, R7OC(O)NH, R8SO2NH; Z = N-(un)substituted piperidin-4-yl, (un)substituted 2-aminoethyl; R, R1 = H, C1-4 alkyl; R2 = C1-4 alkyl, C3-8 cycloalkyl, etc.; R3 = H, C1-4 alkyl; R4 = C1-4 alkyl, C3-7 cycloalkyl, (un)substituted Ph, etc.; R5, R6 = H, C1-6 alkyl, C3-6 alkenyl, etc.; R5R6N = pyrrolidine, piperidine, piperazine, etc.; R7 = C1-6 alkyl, C3-6 alkenyl, (un)substituted Ph, etc.; R8 = C1-4 alkyl, (un)substituted Ph, di(C1-4 alkyl)amino; Q = S, O], useful for the prevention and treatment of migraine and associated disorders, were prepared and formulated. Thus, reaction of 5-amino-3-(N',N'-dimethyl-2-aminoethyl)benzothiophene with 4-fluorobenzoyl chloride in the presence of pyridine in CH2Cl2 afforded 44% I oxalate [R = H; Z = CH2CH2NMe2; 4-FC6H4CONH]. Representative compds. I were found to have an affinity at the 5-HT1F receptor of Ki < 1.5 μM.

IT **76243-03-3**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of benzofurans and benzothienes as serotonin 5-HT1f agonists)
RN 76243-03-3 HCAPLUS
CN 1H-Carbazol-6-ol, 3-(dimethylamino)-2,3,4,4a,9,9a-hexahydro- (9CI) (CA INDEX NAME)




REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:558789 HCAPLUS
DOCUMENT NUMBER: 121:158789
TITLE: Polymerizable dipeptides: preparation, polymerization, and use of polymers for the chromatographic separation of enantiomers
INVENTOR(S): Lange, Walter; Grosse-Bley, Michael; Boemer, Bruno; Grosser, Rolf; Hoever, Franz Peter
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 584664 | A1 | 19940302 | EP 1993-112946 | 19930812 |
| EP 584664 | B1 | 19981104 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| DE 4228135 | A1 | 19940303 | DE 1992-4228135 | 19920825 |
| AT 172986 | E | 19981115 | AT 1993-112946 | 19930812 |
| ES 2124275 | T3 | 19990201 | ES 1993-112946 | 19930812 |
| JP 06192289 | A2 | 19940712 | JP 1993-226539 | 19930819 |
| CA 2104510 | AA | 19940226 | CA 1993-2104510 | 19930820 |
| US 6559334 | B1 | 20030506 | US 1994-290047 | 19940812 |
| PRIORITY APPLN. INFO.: | | | DE 1992-4228135 A | 19920825 |
| | | | US 1993-108369 B1 | 19930818 |

OTHER SOURCE(S): MARPAT 121:158789
AB Polymerizable optically active CH2:CHR2CONHCHR1CONHCHR3COXR4 [I, R1, R3 = alkyl, cycloalkyl, aryl, or aralkyl, R2 = H, Me, or F, X = O or NR5, R4 = alkyl, (substituted) cycloalkyl, (substituted) Ph, or aralkyl, R5 = H, Me, Et, or forms C5-6 cycloalkyl ring with R4] are manufactured by preparation of R1CH(NHB)CONHCHR3CO2H (R1 and R3 = same as in I, B = removable group) by a standard coupling reaction for peptide formation, removal of B, and reaction of the product with CH2:CR2COY (R2 = same as in I, Y = F, Cl, Br, or OCOCR2:CH2). Alternatively, I are prepared by reaction of CH2:CHR2CONHCHR1CO2H (R1 and R2 = same as in I) with NH2CHR3COXR4 (R3, R4, and X = same as in I). Thus, reaction of N-acryloyl-S-phenylalanine with

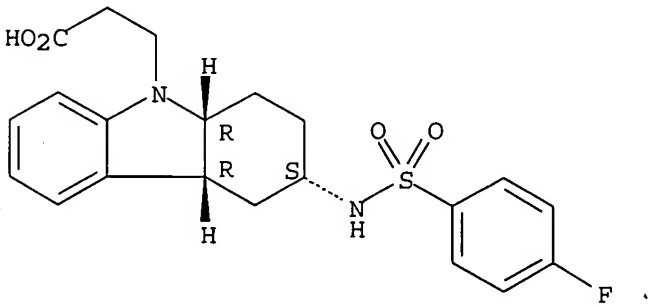
S-phenylalanine iso-Pr ester in the presence of 1-ethoxycarbonyl-2-ethoxy-1,2-dihydroquinoline gave N-acryloyl-S-phenylalanine-S-phenylalanine iso-Pr ester (II). Polymerization of II with vinyltrichlorosilane-treated silica gel gave a product with N content 1.3% and bonded polymer content 11.1%.

IT **116650-17-0**
RL: USES (Uses)
(chromatog. separation of, optically active unsatd. dipeptide polymers for)

RN 116650-17-0 HCAPLUS

CN 9H-Carbazole-9-propanoic acid, 3-[[(4-fluorophenyl)sulfonyl]amino]-1,2,3,4,4a,9a-hexahydro-, (3α,4aβ,9aβ)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L12 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:449398 HCAPLUS
DOCUMENT NUMBER: 115:49398
TITLE: Cycloalkano[1,2-b]indolesulfonamides
INVENTOR(S): Boeshagen, Horst; Rosentreter, Ulrich; Lieb, Folker; Oediger, Hermann; Seuter, Friedel; Perzborn, Elisabeth; Fiedler, Volker Bernd
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: U.S., 25 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

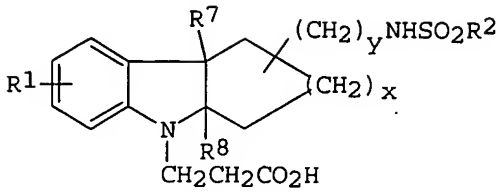
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 4988820 | A | 19910129 | US 1990-556592 | 19900720 |
| DE 3631824 | A1 | 19880331 | DE 1986-3631824 | 19860919 |
| US 4827032 | A | 19890502 | US 1988-212840 | 19880629 |
| US 4904797 | A | 19900227 | US 1989-308152 | 19890208 |
| US 4965258 | A | 19901023 | US 1989-442043 | 19891128 |

PRIORITY APPLN. INFO.:

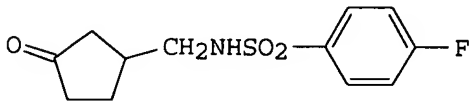
| | | |
|---|---|---|
| DE 1986-3605562 | A | 19860221 |
| DE 1986-3631824 | A | 19860919 |
| US 1987-13302 | B1 | 19870210 |
| US 1988-212840 | A3 | 19880629 |
| US 1989-308152 | A3 | 19890208 |
| US 1989-442043 | A3 | 19891128 |
| DE 1986-3605566 | A1 | 19860221 |

OTHER SOURCE(S): MARPAT 115:49398

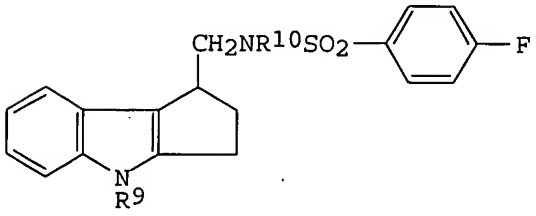
GI



I



II



III

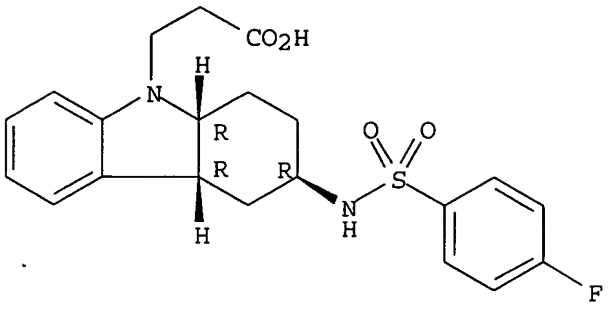
AB Title compds. I [R1 = H, halo, CF3, carboxy, alkoxycarbonyl, SOmR3; R3 = alkyl, aryl, NR4R5, m = 0, 1, 2; R4, R5 = H, alkyl, aryl, aralkyl, acetyl, OR6; R6 = H, alkyl, aryl, aralkyl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, CF3, (substituted) alkyl, (substituted) alkenyl, (substituted) cycloalkyl; R2 = (substituted) aryl, x = 1, 2, 3; y = 0, 1; R7 = R8 = H, R7R8 = bond] were prepared Thus, (fluorophenylsulfonamidomethy l)cyclopentanone II (preparation given) was treated with PhNHNH2 to give 3.7% (fluorophenylsulfonamidomethyl)cyclopentanoindole III (R9 = R10 = H), which reacted with CH2:CHCN in 40% PhCH2Me3N+OH--MeOH in dioxane to give 95% III (R9 = R10 = CH2CH2CN). Hydrolysis of the latter compound gave 87% III (R9 = CH2CH2CO2Na, R10 = H), which showed a min. concentration for inhibition of blood platelet aggregation of 0.03-0.01 mg/kg. I are also useful as thromboxane A2 antagonists.

IT **116650-18-1P 116650-19-2P 116650-20-5P 134461-03-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and blood platelet aggregation inhibiting activity of)

RN 116650-18-1 HCAPLUS

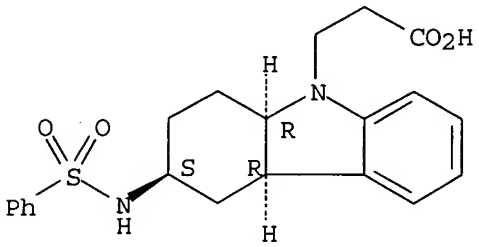
CN 9H-Carbazole-9-propanoic acid, 3-[[(4-fluorophenyl)sulfonyl]amino]-1,2,3,4,4a,9a-hexahydro-, (3α,4aα,9aα)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



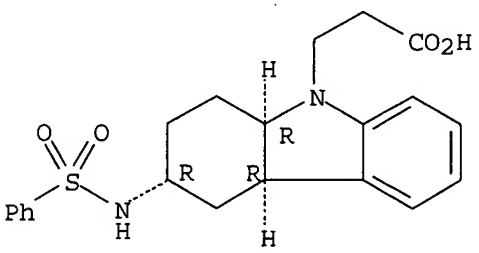
RN 116650-19-2 HCAPLUS
CN 9H-Carbazole-9-propanoic acid, 1,2,3,4,4a,9a-hexahydro-3-[(phenylsulfonyl)amino]-, (3α,4aβ,9aβ)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

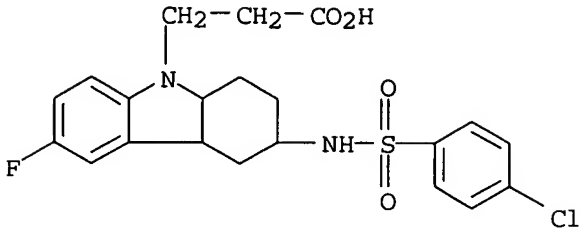


RN 116650-20-5 HCAPLUS
CN 9H-Carbazole-9-propanoic acid, 1,2,3,4,4a,9a-hexahydro-3-[(phenylsulfonyl)amino]-, (3α,4aα,9aα)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

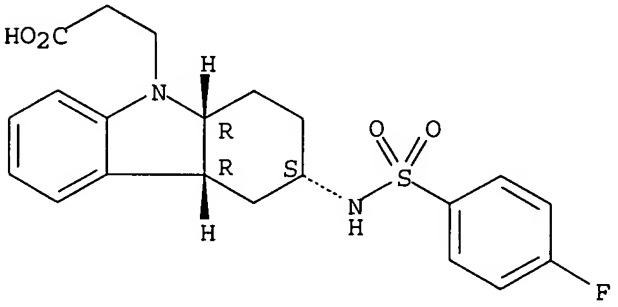


RN 134461-03-3 HCAPLUS
CN 9H-Carbazole-9-propanoic acid, 3-[[(4-chlorophenyl)sulfonyl]amino]-6-fluoro-1,2,3,4,4a,9a-hexahydro- (9CI) (CA INDEX NAME)



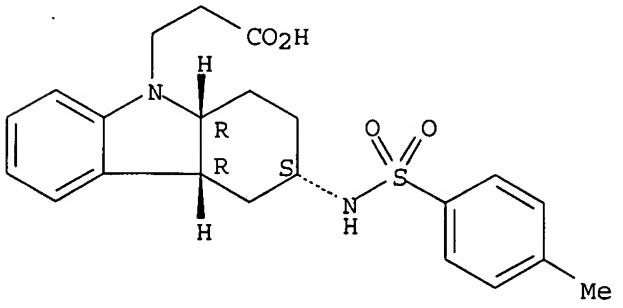
IT **116650-17-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate in synthesis of platelet aggregation inhibitors)
RN 116650-17-0 HCAPLUS
CN 9H-Carbazole-9-propanoic acid, 3-[[(4-fluorophenyl)sulfonyl]amino]-1,2,3,4,4a,9a-hexahydro-, (3α,4aβ,9aβ)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



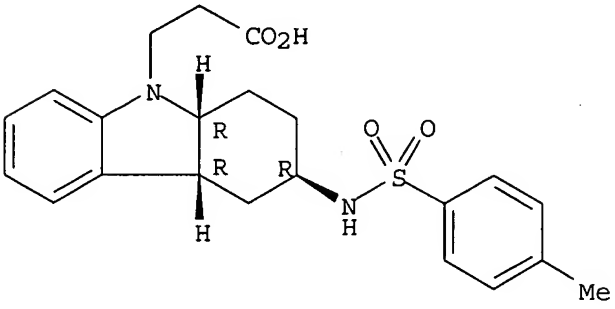
IT **116650-21-6P 116650-22-7P 134461-01-1P 134461-02-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate in synthesis of platelet aggregation inhibitors or thromboxane A2 antagonist)
RN 116650-21-6 HCAPLUS
CN 9H-Carbazole-9-propanoic acid, 1,2,3,4,4a,9a-hexahydro-3-[[(4-methylphenyl)sulfonyl]amino]-, (3α,4aβ,9aβ)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

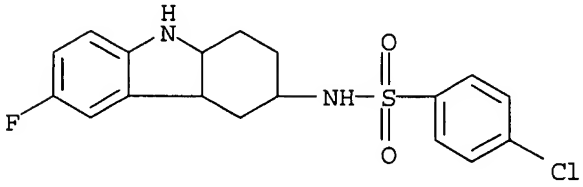


RN 116650-22-7 HCAPLUS
CN 9H-Carbazole-9-propanoic acid, 1,2,3,4,4a,9a-hexahydro-3-[[(4-methylphenyl)sulfonyl]amino]-, (3α,4aα,9aα)- (9CI) (CA INDEX NAME)

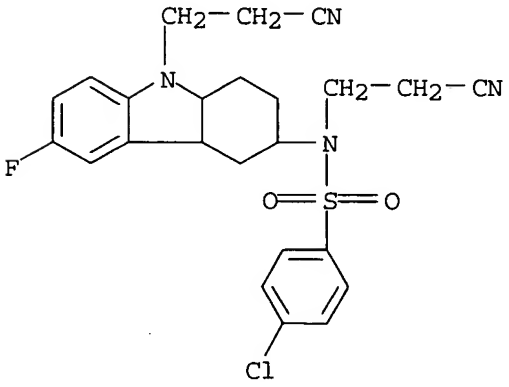
Relative stereochemistry.




RN 134461-01-1 HCAPLUS
CN Benzenesulfonamide, 4-chloro-N-(6-fluoro-2,3,4,4a,9,9a-hexahydro-1H-carbazol-3-yl)- (9CI) (CA INDEX NAME)




RN 134461-02-2 HCAPLUS
CN Benzenesulfonamide, 4-chloro-N-(2-cyanoethyl)-N-[9-(2-cyanoethyl)-6-fluoro-2,3,4,4a,9,9a-hexahydro-1H-carbazol-3-yl]- (9CI) (CA INDEX NAME)




L12 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:186288 HCAPLUS
DOCUMENT NUMBER: 114:186288

TITLE: Optically active (meth)acrylamide derivative preparation, polymerization, and use in chromatographic resolution
INVENTOR(S): Lange, Walter; Boemer, Bruno; Grosser, Rolf; Arlt, Dieter
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

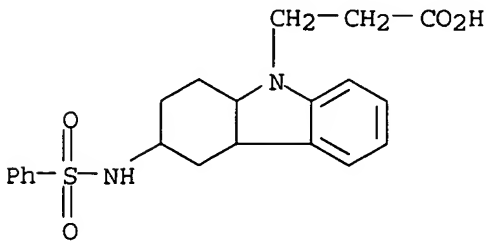
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 379917 | A2 | 19900801 | EP 1990-100703 | 19900113 |
| EP 379917 | A3 | 19920226 | | |
| EP 379917 | B1 | 19950809 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL | | | | |
| ES 2077591 | T3 | 19951201 | ES 1990-100703 | 19900113 |
| JP 02264752 | A2 | 19901029 | JP 1990-11972 | 19900123 |
| JP 2812765 | B2 | 19981022 | | |
| US 5274167 | A | 19931228 | US 1992-835169 | 19920213 |
| PRIORITY APPLN. INFO.: | | | DE 1989-3902287 A | 19890126 |
| | | | JP 1989-11972 A | 19890126 |
| | | | US 1990-467111 A2 | 19900118 |

OTHER SOURCE(S): MARPAT 114:186288

AB The optically active amides H2C:C(R)CON(R3)C(R1)HCOXR2 [R = H, Me; R1 = alkyl, cycloalkyl, arylalkyl, aryl, heteroaryl; R3 = H, R1, trimethylene, tetramethylene; R2 = bulky hydrocarbyl, tertiary alkyl, cycloalkyl, aryl, heteroaryl, terpenyl, adamantyl; X = O, imino] are prepared, polymerized, and used as column packings in chromatog. determination and resolution of racemic mixts.

Thus, D-alanine 1-menthyl ester hydrochloride was condensed with acryloyl chloride to give an amide ([α]D -67.0°), 13.5 g of which was polymerized with 1.50 g ethylene dimethacrylate in the presence of AIBN to give a copolymer which was used in the resolution of 3-(4-chlorophenylsulfonamido)-9-(2-carboxylethyl)-1,2,3,4-tetrahydrocarbazole.

IT **133287-23-7**
RL: PROC (Process)
(resolution of, optically active acrylamide polymers for)
RN 133287-23-7 HCAPLUS
CN 9H-Carbazole-9-propanoic acid, 1,2,3,4,4a,9a-hexahydro-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



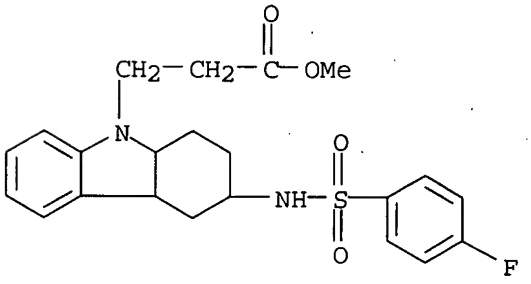

L12 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:6215 HCAPLUS
DOCUMENT NUMBER: 114:6215
TITLE: Synthesis of tritium-labeled (3R)-3-(4-fluorophenylsulfonamido)-1,2,3,4-tetrahydro-9-[4-3H]carbazolepropanoic acid
AUTHOR(S): Pleiss, Ulrich; Radtke, Martin; Schmitt, Peter
CORPORATE SOURCE: Inst. Pharmacokinet., Bayer A.-G., Wuppertal, D-5600, Germany
SOURCE: Journal of Labelled Compounds and Radiopharmaceuticals (1990), 28(9), 1081-6
CODEN: JLCRD4; ISSN: 0362-4803
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:6215

AB The preparation of the title compound ([3H]Bay u 3405) (I) starting from Bay u 3405 via oxidation and catalytic tritiation is described. In the tritium NMR spectrum of I the ratio of 4α-3H and 4β-3H was 1:1.

IT **130966-74-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)

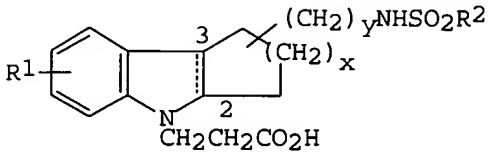
RN 130966-74-4 HCAPLUS
CN 9H-Carbazole-9-propanoic acid, 3-[[(4-fluorophenyl)sulfonyl]amino]-1,2,3,4,4a,9a-hexahydro-, methyl ester, (R)- (9CI) (CA INDEX NAME)




L12 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:75309 HCAPLUS
DOCUMENT NUMBER: 110:75309
TITLE: Preparation of cycloalkano[1,2-b]indole-substituted arenesulfonamides as blood platelet aggregation inhibitors
INVENTOR(S): Boshagen, Horst; Rosentreter, Ulrich; Lieb, Folker; Oediger, Hermann; Seuter, Friedel; Perzborn, Elisabeth; Fredler, Volker Bernd
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 82 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CN 87100773 | A | 19870902 | CN 1987-100773 | 19870221 |

CN 1015711 B 19920304
DE 3631824 A1 19880331 DE 1986-3631824 19860919
PRIORITY APPLN. INFO.: DE 1986-3605566 A 19860221
DE 1986-3631824 A 19860919
OTHER SOURCE(S): CASREACT 110:75309
GI

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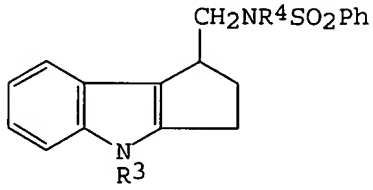



II

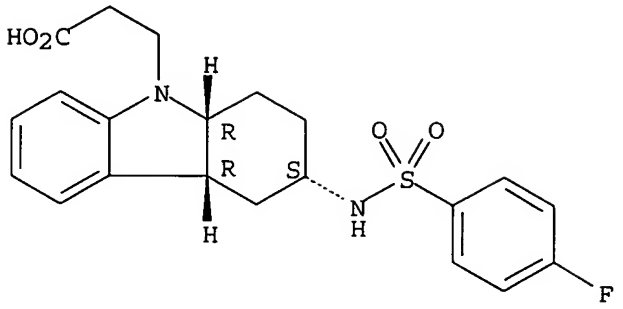
AB The title compds. [I; R1 = H, halo, CF3, CO2H, alkoxycarbonyl, R3S(O)m wherein R3 = (substituted) amino, m = 0, 1, 2; R2 = (substituted) aryl; x = 1, 2, 3; y = 0, 1; 2,3-saturated or unsatd.] and their stereoisomers or salts are prepared Cyanoethylation of cyclopentindole derivative II (R3 = R4 = H) with acrylonitrile in the presence of PhCH2N+Me3 OH- in MeOH gave 95% propionitrile derivative II (R3 = R4 = CH2CH2CN), which was hydrolyzed with 10% NaOH in MeOH to give 96.2% II (R3 = CH2CH2CO2H, R4 = H) as the Na salt. I showed effective control of platelet aggregation at 0.01-10 mg/kg in vitro. I may be administered in various routes and the preferred dose is 0.01-0.5 mg/kg i.v. and 0.1-10 mg/kg p.o. Various synthetic schemes are also given.

IT **116650-17-0P 116650-18-1P 116650-19-2P**
**116650-21-6P 116650-22-7P 118699-42-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as blood platelet aggregation inhibitor)

RN 116650-17-0 HCAPLUS

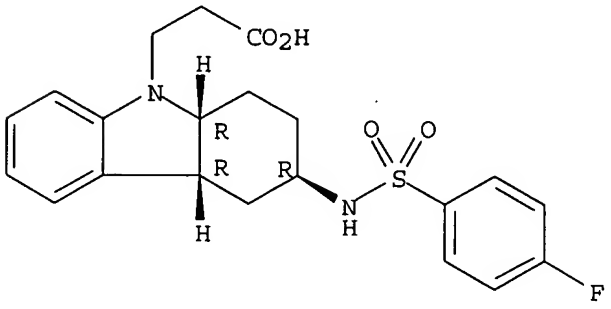
CN 9H-Carbazole-9-propanoic acid, 3-[[(4-fluorophenyl)sulfonyl]amino]-1,2,3,4,4a,9a-hexahydro-, (3α,4aβ,9aβ)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



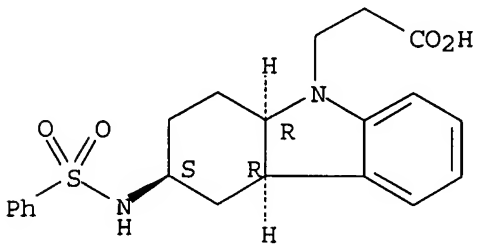
RN 116650-18-1 HCAPLUS
CN 9H-Carbazole-9-propanoic acid, 3-[[(4-fluorophenyl)sulfonyl]amino]-1,2,3,4,4a,9a-hexahydro-, (3α,4aα,9aα)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



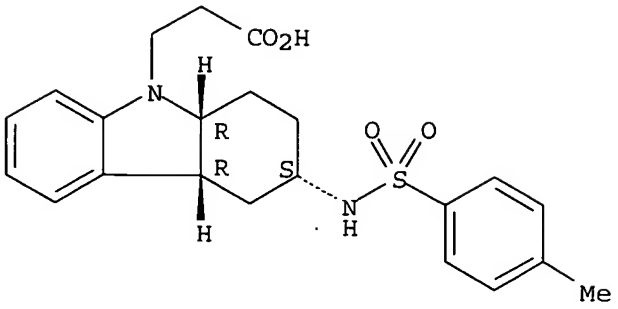
RN 116650-19-2 HCAPLUS
CN 9H-Carbazole-9-propanoic acid, 1,2,3,4,4a,9a-hexahydro-3-[(phenylsulfonyl)amino]-, (3α,4aβ,9aβ)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



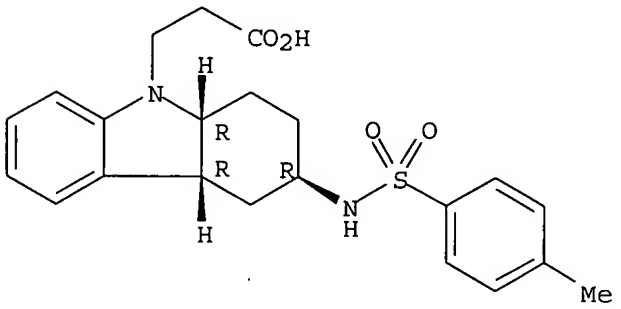
RN 116650-21-6 HCAPLUS
CN 9H-Carbazole-9-propanoic acid, 1,2,3,4,4a,9a-hexahydro-3-[[(4-methylphenyl)sulfonyl]amino]-, (3α,4aβ,9aβ)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



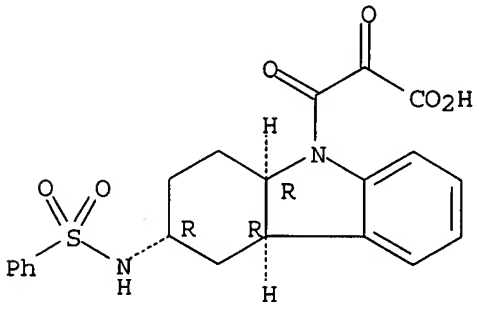
RN 116650-22-7 HCAPLUS
CN 9H-Carbazole-9-propanoic acid, 1,2,3,4,4a,9a-hexahydro-3-[[(4-methylphenyl)sulfonyl]amino]-, (3α,4aα,9aα)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 118699-42-6 HCAPLUS
CN 9H-Carbazole-9-propanoic acid, 1,2,3,4,4a,9a-hexahydro-α,β-dioxo-3-[(phenylsulfonyl)amino]-, (3α,4aα,9aα)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L12 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:630800 HCAPLUS
DOCUMENT NUMBER: 109:230800
TITLE: Cycloalkano[1,2-b]indolesulfonamides, procedure for their preparation, drugs containing them, and their

use

INVENTOR(S): Boeshagen, Horst; Rosentreter, Ulrich; Lieb, Folker; Oediger, Hermann; Seuter, Friedel; Perzborn, Elisabeth; Fiedler, Volker Bernd

PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 48 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 3631824 | A1 | 19880331 | DE 1986-3631824 | 19860919 |
| NO 8700437 | A | 19870824 | NO 1987-437 | 19870204 |
| NO 171633 | B | 19930104 | | |
| NO 171633 | C | 19930414 | | |
| EP 242518 | A1 | 19871028 | EP 1987-101901 | 19870211 |
| EP 242518 | B1 | 19910410 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| AT 62477 | E | 19910415 | AT 1987-101901 | 19870211 |
| ES 2028801 | T3 | 19920716 | ES 1987-101901 | 19870211 |
| AU 8768808 | A1 | 19870827 | AU 1987-68808 | 19870213 |
| AU 595855 | B2 | 19900412 | | |
| IL 81611 | A1 | 19910310 | IL 1987-81611 | 19870218 |
| FI 8700693 | A | 19870822 | FI 1987-693 | 19870219 |
| FI 86544 | B | 19920529 | | |
| FI 86544 | C | 19920910 | | |
| HU 44493 | A2 | 19880328 | HU 1987-650 | 19870219 |
| HU 198686 | B | 19891128 | | |
| DD 264427 | A5 | 19890201 | DD 1987-300047 | 19870219 |
| CS 275837 | B6 | 19920318 | CS 1987-1093 | 19870219 |
| CS 276468 | B6 | 19920617 | CS 1988-6891 | 19870219 |
| CS 276469 | B6 | 19920617 | CS 1988-6892 | 19870219 |
| CA 1309414 | A1 | 19921027 | CA 1987-530077 | 19870219 |
| DK 8700871 | A | 19870822 | DK 1987-871 | 19870220 |
| DK 167009 | B1 | 19930816 | | |
| ZA 8701249 | A | 19871028 | ZA 1987-1249 | 19870220 |
| SU 1438609 | A3 | 19881115 | SU 1987-4202045 | 19870220 |
| CN 87100773 | A | 19870902 | CN 1987-100773 | 19870221 |
| CN 1015711 | B | 19920304 | | |
| JP 62198659 | A2 | 19870902 | JP 1987-36920 | 19870221 |
| JP 04050301 | B4 | 19920813 | | |
| US 4827032 | A | 19890502 | US 1988-212840 | 19880629 |
| US 4904797 | A | 19900227 | US 1989-308152 | 19890208 |
| US 4965258 | A | 19901023 | US 1989-442043 | 19891128 |
| AU 9054817 | A1 | 19900913 | AU 1990-54817 | 19900508 |
| AU 633563 | B2 | 19930204 | | |
| US 4988820 | A | 19910129 | US 1990-556592 | 19900720 |
| JP 05092954 | A2 | 19930416 | JP 1992-76232 | 19920227 |
| JP 07005552 | B4 | 19950125 | | |

| PRIORITY APPLN. INFO.: | | |
|---|---|---|
| DE 1986-3605566 | A1 | 19860221 |
| DE 1986-3605562 | A | 19860221 |
| DE 1986-3631824 | A | 19860919 |
| US 1987-13302 | A1 | 19870210 |
| EP 1987-101901 | A | 19870211 |
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| US 1989-308152 | A3 | 19890208 |

US 1989-442043 A3 19891128

OTHER SOURCE(S): MARPAT 109:230800

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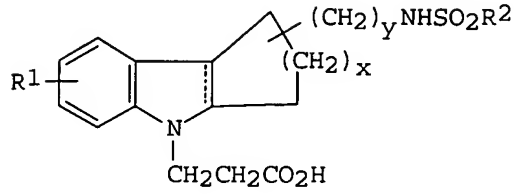

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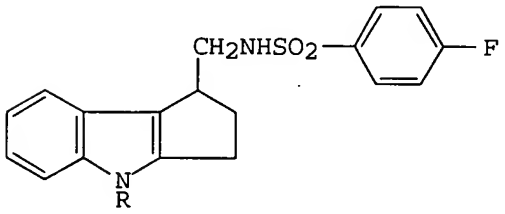


II

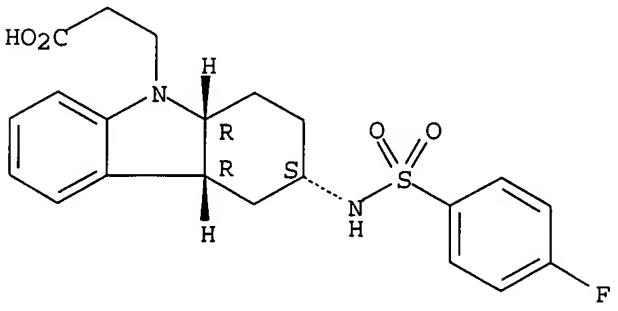
AB The title compds. I [R1 = H, halo, CF3, CO2H, (un)substituted NH2, etc.; R2 (un)substituted aryl; x = 1-3; y = 0, 1] optionally in an isomeric form, and their salts, useful as thrombocyte aggregation inhibitors and thromboxane A2 antagonists and of significance in veterinary medicine, were prepared Cyclopentanoindole II (R = CH2CH2CO2Na) (III) was prepared in 2 steps from II (R = H), which was prepared from 3-(4-fluorobenzenesulfonamidomethyl)cyclopentanone (IV) and PhNHNH2. IV was prepared in 3 steps from 2-cyclopentenone and MeNO2 in the presence of 1,5-diazabicyclo[4.3.0]non-5-ene. The min. inhibitory concentration of III for thrombocyte aggregation inhibition was 0.03-0.01 mg/kg.

IT **116650-17-0P 116650-18-1P 116650-19-2P**
**116650-20-5P 116650-21-6P 116650-22-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as thrombocyte aggregation inhibitor)

RN 116650-17-0 HCAPLUS

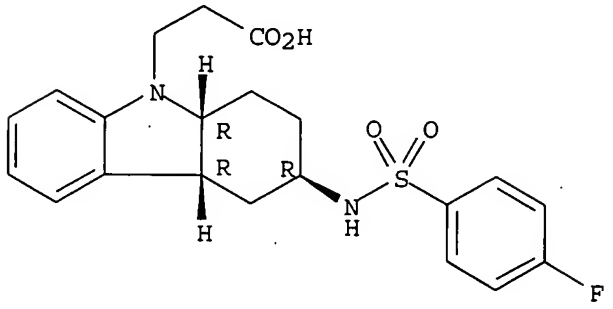
CN 9H-Carbazole-9-propanoic acid, 3-[[(4-fluorophenyl)sulfonyl]amino]-1,2,3,4,4a,9a-hexahydro-, (3α,4aβ,9aβ)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



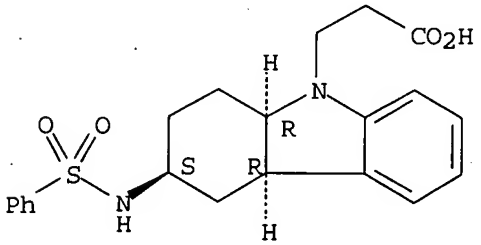
RN 116650-18-1 HCAPLUS
CN 9H-Carbazole-9-propanoic acid, 3-[[(4-fluorophenyl)sulfonyl]amino]-1,2,3,4,4a,9a-hexahydro-, (3α,4aα,9aα)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



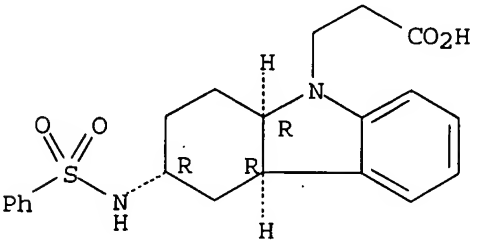
RN 116650-19-2 HCAPLUS
CN 9H-Carbazole-9-propanoic acid, 1,2,3,4,4a,9a-hexahydro-3-[(phenylsulfonyl)amino]-, (3α,4aβ,9aβ)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 116650-20-5 HCAPLUS
CN 9H-Carbazole-9-propanoic acid, 1,2,3,4,4a,9a-hexahydro-3-[(phenylsulfonyl)amino]-, (3α,4aα,9aα)- (9CI) (CA INDEX NAME)

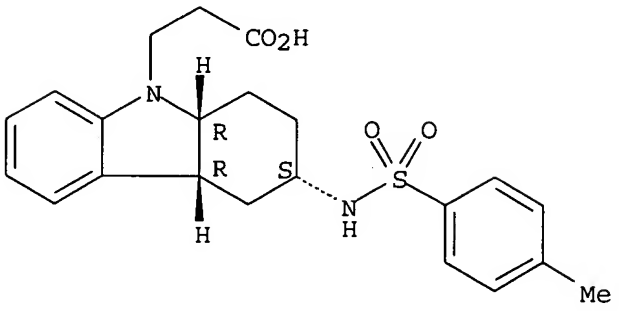
Relative stereochemistry.



RN 116650-21-6 HCAPLUS
CN 9H-Carbazole-9-propanoic acid, 1,2,3,4,4a,9a-hexahydro-3-[[(4-methylphenyl)sulfonyl]amino]-, (3α,4aβ,9aβ)- (9CI) (CA

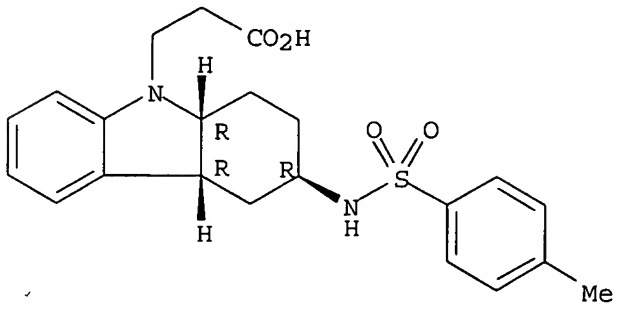
INDEX NAME)

Relative stereochemistry.




RN 116650-22-7 HCAPLUS
CN 9H-Carbazole-9-propanoic acid, 1,2,3,4,4a,9a-hexahydro-3-[[(4-methylphenyl)sulfonyl]amino]-, (3α,4aα,9aα)- (9CI) (CA INDEX NAME)

Relative stereochemistry.




L12 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1981:47136 HCAPLUS
DOCUMENT NUMBER: 94:47136
TITLE: Tetrahydrocarbazoles and pharmaceutical compositions for treating heart failure in mammals
INVENTOR(S): Mooradian, Aram
PATENT ASSIGNEE(S): Sterling Drug Inc., USA
SOURCE: U.S., 7 pp. Cont.-in-part of U.S. Ser. No. 465,238, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 4224335 | A | 19800923 | US 1976-651882 | 19760123 |
| US 3642816 | A | 19720215 | US 1967-659606 | 19670810 |
| US 3959309 | A | 19760525 | US 1973-425205 | 19731217 |
| PRIORITY APPLN. INFO.: | | | US 1967-659606 A2 | 19670810 |

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|---|---|---|
| US 1969-793545 | A2 | 19690123 |
| US 1971-172206 | A2 | 19710816 |
| US 1973-425205 | A2 | 19731217 |
| US 1974-465238 | A2 | 19740429 |
| CA 1968-10686 | A | 19680124 |

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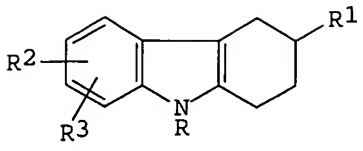


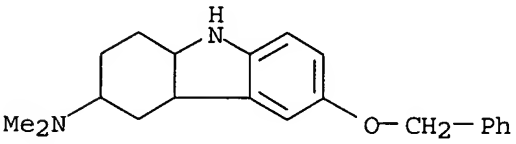
I

AB The carbazoles I (R = H, Me; R1 = NH2, EtNH, Me2N; R2 = 5-, 6-, 7-HO; R3 = H, 7-F, 7-HO) were prepared Thus, m-PhCH2OC6H4NMeNH2.HCl was cyclized with 4-(dimethylamino)cyclohexanone to give I (R = Me, R1 = Me2N, R2 = 7-PhCH2O, R3 = H), which was debenzylated to give I (R = Me, R1 = Me2N, R2 = 7-HO, R3 = H). I underwent cardiotonic tests and were found useful for treatment of congestive heart failure in mammals.

IT **76243-30-6 76243-31-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(debenzylation of)

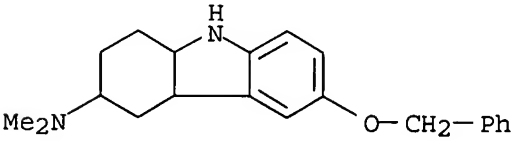
RN 76243-30-6 HCAPLUS

CN 1H-Carbazol-3-amine, 2,3,4,4a,9,9a-hexahydro-N,N-dimethyl-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 76243-31-7 HCAPLUS

CN 1H-Carbazol-3-amine, 2,3,4,4a,9,9a-hexahydro-N,N-dimethyl-6-(phenylmethoxy)-, hydrochloride (9CI) (CA INDEX NAME)

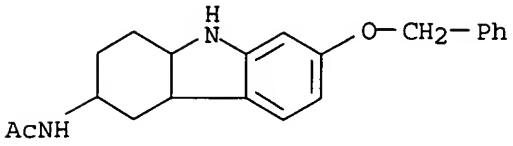


IT **76243-19-1P 76243-27-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzylation of)

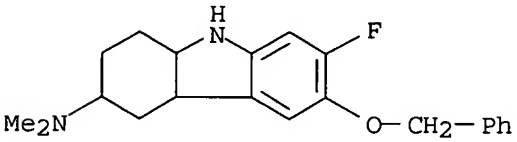
RN 76243-19-1 HCAPLUS

CN Acetamide, N-[2,3,4,4a,9,9a-hexahydro-7-(phenylmethoxy)-1H-carbazol-3-yl]-

(9CI) (CA INDEX NAME)

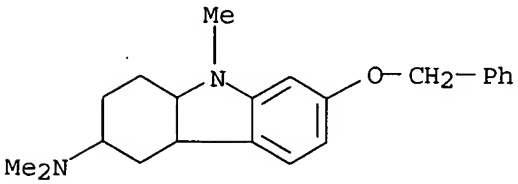


RN 76243-27-1 HCAPLUS
CN 1H-Carbazol-3-amine, 7-fluoro-2,3,4,4a,9,9a-hexahydro-N,N-dimethyl-6-(phenylmethoxy)-, hydrochloride (9CI) (CA INDEX NAME)



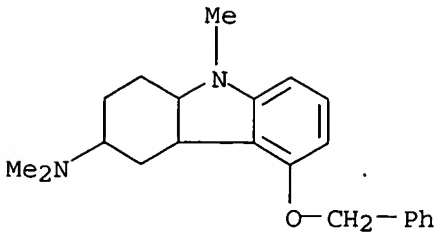
●x HCl

IT **76243-05-5P 76243-06-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)
RN 76243-05-5 HCAPLUS
CN 1H-Carbazol-3-amine, 2,3,4,4a,9,9a-hexahydro-N,N,9-trimethyl-7-(phenylmethoxy)-, hydrochloride (9CI) (CA INDEX NAME)



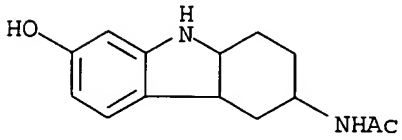
●x HCl

RN 76243-06-6 HCAPLUS
CN 1H-Carbazol-3-amine, 2,3,4,4a,9,9a-hexahydro-N,N,9-trimethyl-5-(phenylmethoxy)-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

IT **76243-20-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
RN 76243-20-4 HCAPLUS
CN Acetamide, N-(2,3,4,4a,9,9a-hexahydro-7-hydroxy-1H-carbazol-3-yl)- (9CI) (CA INDEX NAME)



IT **76243-10-2P 76243-14-6P 76243-32-8P**
**76254-53-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 76243-10-2 HCAPLUS
CN 1H-Carbazol-7-ol, 3-(dimethylamino)-2,3,4,4a,9,9a-hexahydro-9-methyl-, methanesulfonate (salt) (9CI) (CA INDEX NAME)

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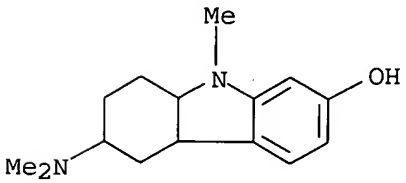

CM 2

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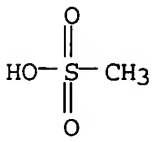
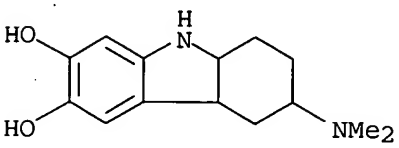
CMF C H4 O3 S



RN 76243-14-6 HCAPLUS
CN 1H-Carbazole-6,7-diol, 3-(dimethylamino)-2,3,4,4a,9,9a-hexahydro-, hydrobromide (9CI) (CA INDEX NAME)

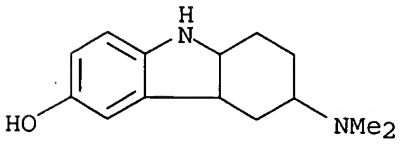


●x HBr

RN 76243-32-8 HCAPLUS
CN 1H-Carbazol-6-ol, 3-(dimethylamino)-2,3,4,4a,9,9a-hexahydro-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

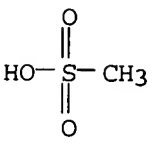
CM 1

CRN 76243-03-3
CMF C14 H20 N2 O

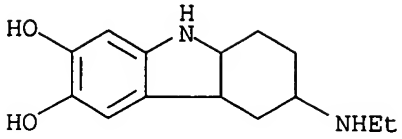


CM 2

CRN 75-75-2
CMF C H4 O3 S

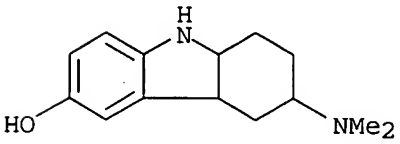


RN 76254-53-0 HCAPLUS
CN 1H-Carbazole-6,7-diol, 3-(ethylamino)-2,3,4,4a,9,9a-hexahydro-, hydrobromide (9CI) (CA INDEX NAME)

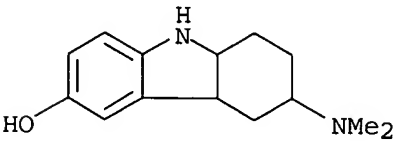


●x HBr

IT **76243-03-3P 76243-04-4P 76243-07-7P**
**76243-08-8P 76243-13-5P 76243-17-9P**
**76243-18-0P 76243-21-5P 76243-29-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for treatment of congestive heart failure)
RN 76243-03-3 HCAPLUS
CN 1H-Carbazol-6-ol, 3-(dimethylamino)-2,3,4,4a,9,9a-hexahydro- (9CI) (CA INDEX NAME)

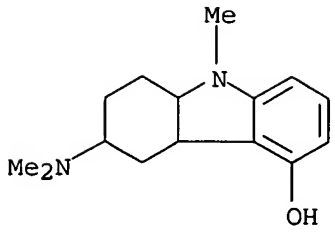


RN 76243-04-4 HCAPLUS
CN 1H-Carbazol-6-ol, 3-(dimethylamino)-2,3,4,4a,9,9a-hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



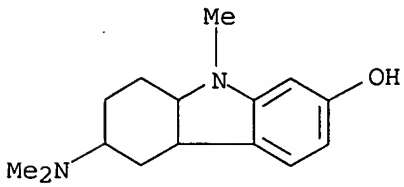
●x HCl

RN 76243-07-7 HCAPLUS
CN 1H-Carbazol-5-ol, 3-(dimethylamino)-2,3,4,4a,9,9a-hexahydro-9-methyl-, hydrochloride (9CI) (CA INDEX NAME)



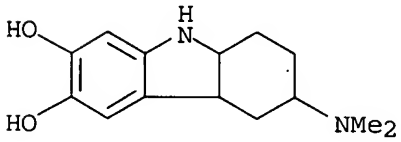
● x HCl

RN 76243-08-8 HCAPLUS
CN 1H-Carbazol-7-ol, 3-(dimethylamino)-2,3,4,4a,9,9a-hexahydro-9-methyl-, hydrochloride (9CI) (CA INDEX NAME)



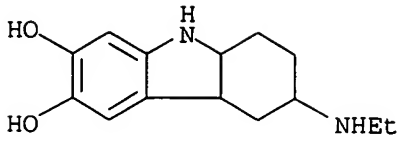
● x HCl

RN 76243-13-5 HCAPLUS
CN 1H-Carbazole-6,7-diol, 3-(dimethylamino)-2,3,4,4a,9,9a-hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



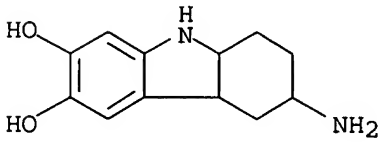
● x HCl

RN 76243-17-9 HCAPLUS
CN 1H-Carbazole-6,7-diol, 3-(ethylamino)-2,3,4,4a,9,9a-hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



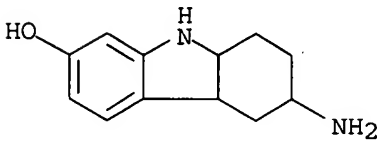
●x HCl

RN 76243-18-0 HCAPLUS
CN 1H-Carbazole-6,7-diol, 3-amino-2,3,4,4a,9,9a-hexahydro-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 76243-21-5 HCAPLUS
CN 1H-Carbazol-7-ol, 3-amino-2,3,4,4a,9,9a-hexahydro-, hydrochloride (9CI) (CA INDEX NAME)

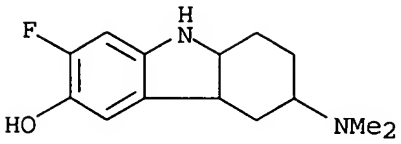


●x HCl

RN 76243-29-3 HCAPLUS
CN 1H-Carbazol-6-ol, 3-(dimethylamino)-7-fluoro-2,3,4,4a,9,9a-hexahydro-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

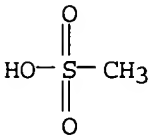
CM 1

CRN 76243-28-2
CMF C14 H19 F N2 O



CM 2

CRN 75-75-2
CMF C H4 O3 S



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| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 59.24 | 551.75 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -8.03 | -8.76 |

STN INTERNATIONAL LOGOFF AT 09:37:35 ON 12 OCT 2005